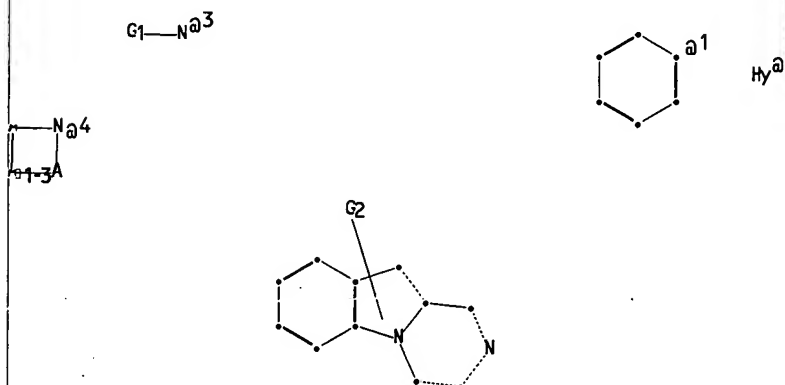


L Number	Hits	Search Text	DB	Time stamp
1	811	((544/344) or (514/250)).CCLS.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/05/21 18:18



chain nodes :
 14 21 26 36
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 15 16 17 18 19 20 27 28 29 30
 chain bonds :
 14-26
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13 15-16
 15-20 16-17 17-18 18-19 19-20 27-28 27-30 28-29 29-30
 exact/norm bonds :
 6-9 7-8 8-9 8-10 9-13 10-11 11-12 12-13 14-26 27-28 27-30 28-29 29-30
 exact bonds :
 5-7
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
 isolated ring systems :
 containing 1 :

G1:[*1],[*2]

G2:[*3],[*1],[*2],[*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
 12:Atom 13:Atom 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
 26:CLASS 27:Atom 28:Atom 29:Atom 30:Atom 36:CLASS 37:CLASS

Generic attributes :

21:
 Saturation : Unsaturated
 Number of Carbon Atoms : less than 7
 Number of Hetero Atoms : less than 2

Type of Ring System : Monocyclic

Element Count :

Node 21: Limited

C,C5

N,N1

O,O0

S,S0

=>

Uploading 10026226.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 17:46:20 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3571 TO ITERATE

28.0% PROCESSED 1000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 67838 TO 75002

PROJECTED ANSWERS: 1 TO 184

L2 1 SEA SSS SAM L1 -

=> s l1 sss ful

FULL SEARCH INITIATED 17:46:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 71944 TO ITERATE

100.0% PROCESSED 71944 ITERATIONS

102 ANSWERS

SEARCH TIME: 00.00.02

L3 102 SEA SSS FUL L1

=> s l3

L4 26 L3

=> d l4 1-26 bib,ab,hitstr

L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 2000:278022. CAPLUS

DN 132:308359

TI aminoketone solid support templates useful for solid phase synthesis of imidazoles, benzodiazepines, pyrazines, steroid mimics, etc.

IN Mjalli, Adnan M. M.

PA Advanced Syntech, Llc, USA

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000023487	A1	20000427	WO 1999-US23619	19991008
	W: CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6117940	A	20000912	US 1998-174521	19981016
	EP 1153050	A1	20011114	EP 1999-951902	19991008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1998-174521	A	19981016		
	US 1997-61927P	P	19971017		
	WO 1999-US23619	W	19991008		

AB A solid phase reaction component for prodn. of a chem. compd. in a reaction medium comprises an aminoketone core compd. linked to a polymer substrate, said substrate being insol. in said reaction medium, e.g. PXLNH(CR1R2)nCOR3 [n = 1, 2; X = covalent bond-forming moiety; L = multifunctional monomer; L, R1-R3 = (substituted) alkyl, alkylaryl, alkenyl, alkenylaryl; P = polymer]. Thus, aminoketone resin I was used to prep. 2-(3-benzyl-2-oxo-5-phenyl-2H-pyrazin-1-yl)-N-hydroxypropionamide and N-hydroxy-2-(5-oxo-2-phenyl-1,5-dihydrobenzo[e][1,4]diazepin-4-yl)propionamide.

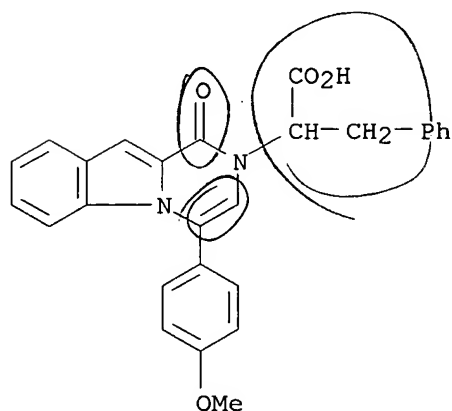
IT 265315-37-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(aminoketone solid support templates useful for solid phase synthesis of imidazoles, benzodiazepines, pyrazines, and steroid mimics)

RN 265315-37-5 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-acetic acid, 4-(4-methoxyphenyl)-1-oxo-.alpha.-(phenylmethyl)- (9CI) (CA INDEX NAME)

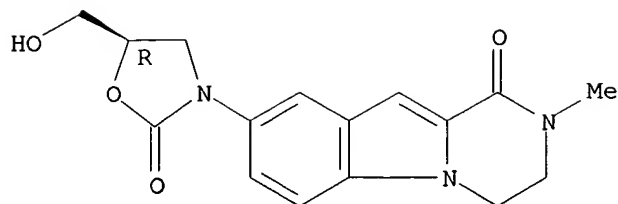


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1999:487536 CAPLUS
 DN 131:129985
 TI Oxazolidines substituted by tricyclic indoles
 IN Ruppelt, Martin; Bartel, Stephan; Guarnieri, Walter; Raddatz, Siegfried;
 Rosentreter, Ulrich; Wild, Hanno; Endermann, Rainer; Kroll, Hein-Peter
 PA Bayer A.-G., Germany
 SO Ger. Offen., 40 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19802235	A1	19990729	DE 1998-19802235	19980122
	WO 9937652	A1	19990729	WO 1999-EP97	19990109
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9924206	A1	19990809	AU 1999-24206	19990109
	EP 1049701	A1	20001108	EP 1999-903616	19990109
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002501073	T2	20020115	JP 2000-528573	19990109
PRAI	DE 1998-19802235	A	19980122		
	WO 1999-EP97	W	19990109		
OS	MARPAT 131:129985				
AB	Approx. 25 antibacterial title compds. such as I (R = benzyl, p-methoxybenzyl, allyl, Bu, cyclohexyl, Et, Me; R1 = Ac, EtCO, CO2Me) were prepd. E.g., N-[3-(2-(ethoxycarbonyl)-5-indolylamino)-2-hydroxypropyl]acetamide was cyclized with carbonyldiimidazole to give 85% 3-(2-ethoxycarbonyl-5-indolyl)-5-(acetaminomethyl)-2-oxazolidinone. The MIC of I (R = Bu, R1 = Ac) was 4 .mu.g/mL against Staphylococcus Aureus.				
IT	234770-30-0P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and bactericidal activity of oxazolidines substituted by tricyclic indoles)				
RN	234770-30-0 CAPLUS				
CN	Pyrazino[1,2-a]indol-1(2H)-one, 3,4-dihydro-8-[(5R)-5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]-2-methyl- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



IT 234770-35-5P 234770-37-7P 234770-38-8P
234770-39-9P 234770-40-2P 234770-42-4P

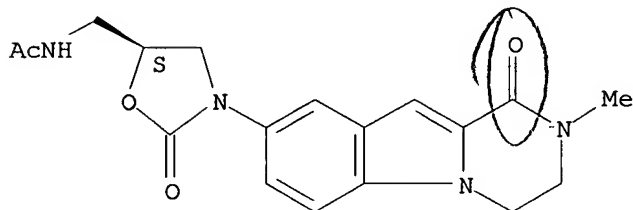
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal activity of oxazolidines substituted by tricyclic indoles)

RN 234770-35-5 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-2-methyl-1-oxopyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

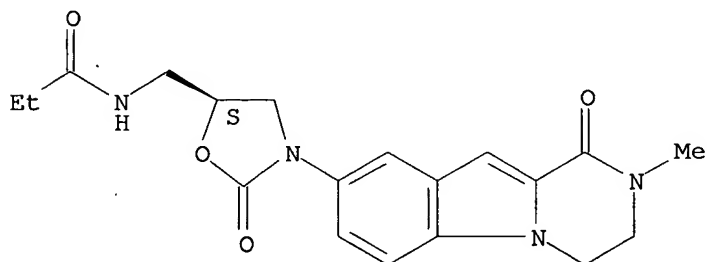
Absolute stereochemistry.



RN 234770-37-7 CAPLUS

CN Propanamide, N-[[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-2-methyl-1-oxopyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

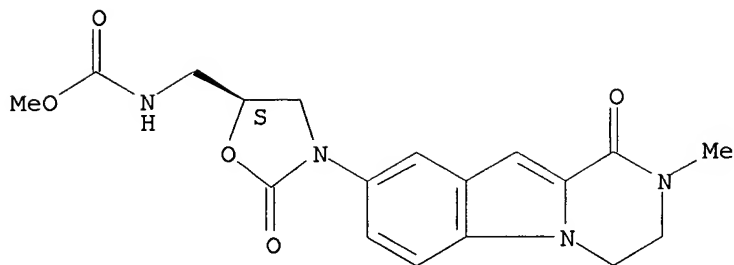
Absolute stereochemistry.



RN 234770-38-8 CAPLUS

CN Carbamic acid, [[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-2-methyl-1-oxopyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

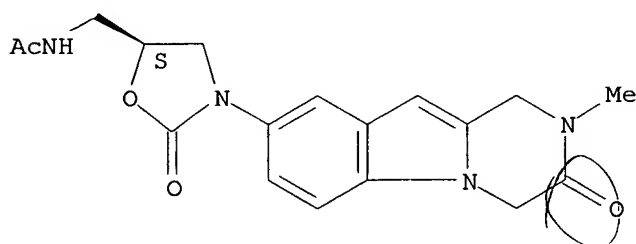
Absolute stereochemistry.



RN 234770-39-9 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-2-methyl-3-oxopyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

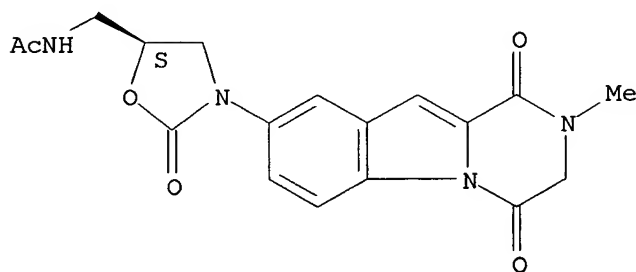
Absolute stereochemistry.



RN 234770-40-2 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-2-methyl-1,4-dioxopyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

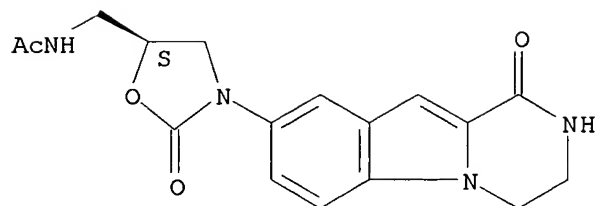
Absolute stereochemistry.



RN 234770-42-4 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-1-oxopyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 234770-31-1P 234770-32-2P 234770-33-3P

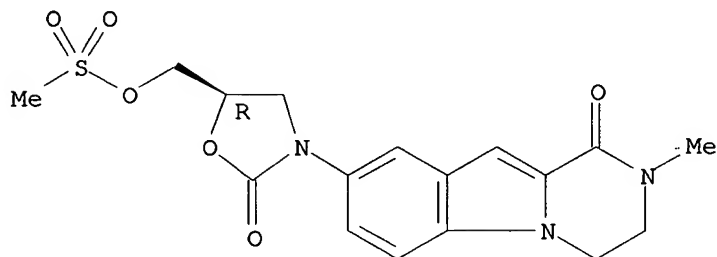
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and bactericidal activity of oxazolidines substituted by tricyclic indoles)

RN 234770-31-1 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 3,4-dihydro-2-methyl-8-[(5R)-5-[(methylsulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]- (9CI) (CA INDEX NAME)

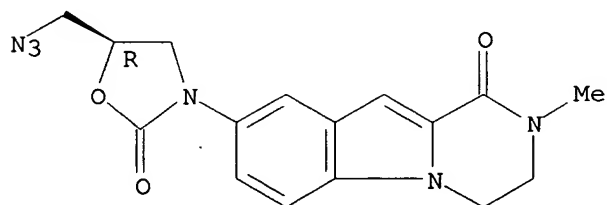
Absolute stereochemistry.



RN 234770-32-2 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-[(5R)-5-(azidomethyl)-2-oxo-3-oxazolidinyl]-3,4-dihydro-2-methyl- (9CI) (CA INDEX NAME)

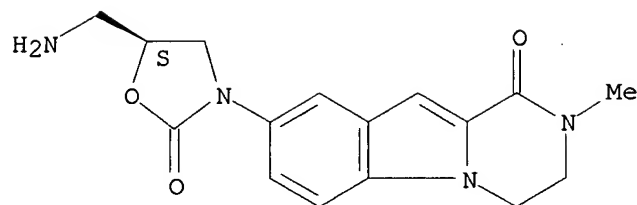
Absolute stereochemistry.



RN 234770-33-3 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-[(5S)-5-(aminomethyl)-2-oxo-3-oxazolidinyl]-3,4-dihydro-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

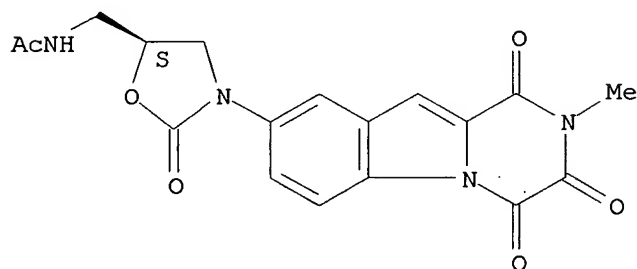
IT 234770-41-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and bactericidal activity of oxazolidines substituted by
tricyclic indoles)

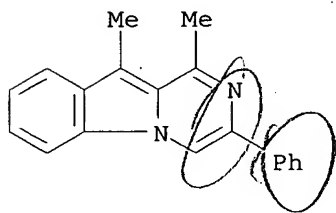
RN 234770-41-3 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-(1,2,3,4-tetrahydro-2-methyl-1,3,4-trioxypyrazino[1,2-a]indol-8-yl)-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

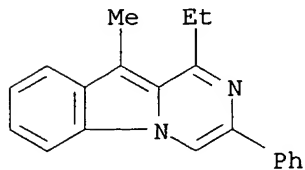


L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1995:332659 CAPLUS
 DN 122:290796
 TI Synthesis and some reactions of indolo[2,1-c]-1,4-oxazinium perchlorates
 AU Kibalny, A. V.; Nikolyukin, Yu. A.; Dulenko, V. I.
 CS Inst. Fiz.-Org. Khim. Uglekhim., NAN Ukr., Donetsk, 340114, Ukraine
 SO Khimiya Geterotsiklicheskikh Soedinenii (1994), (8), 1041-7
 CODEN: KGSSAQ; ISSN: 0132-6244
 PB Latviiskii Institut Organicheskogo Sinteza
 DT Journal
 LA Russian
 AB Title compds. I (R = Me, Et, Pr, CHMe₂, CMe₃, Ph) were prepd. by reaction of 1-phenacylskatole with RCO+ClO₄⁻. I were converted to pyrazine analogs (II; R = alkyl), dimeric compds. (III; R₁ = H, Me), and indolotriazepine IV.
 IT 163158-88-1P 163158-89-2P 163158-90-5P
 163158-91-6P 163158-92-7P 163158-96-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 163158-88-1 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,10-dimethyl-3-phenyl-, monohydrochloride (9CI)
 (CA INDEX NAME)



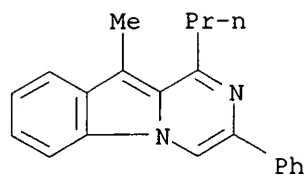
● HCl

RN 163158-89-2 CAPLUS
 CN Pyrazino[1,2-a]indole, 1-ethyl-10-methyl-3-phenyl-, monohydrochloride
 (9CI) (CA INDEX NAME)



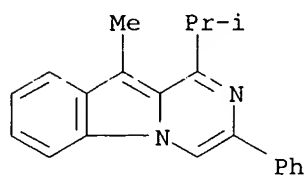
● HCl

RN 163158-90-5 CAPLUS
 CN Pyrazino[1,2-a]indole, 10-methyl-3-phenyl-1-propyl- (9CI) (CA INDEX NAME)



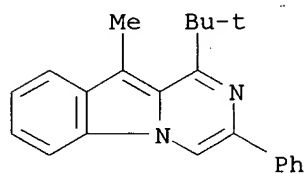
RN 163158-91-6 CAPLUS

CN Pyrazino[1,2-a]indole, 10-methyl-1-(1-methylethyl)-3-phenyl- (9CI) (CA INDEX NAME)



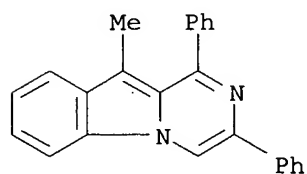
RN 163158-92-7 CAPLUS

CN Pyrazino[1,2-a]indole, 1-(1,1-dimethylethyl)-10-methyl-3-phenyl- (9CI) (CA INDEX NAME)

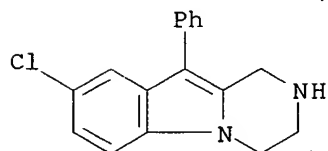


RN 163158-96-1 CAPLUS

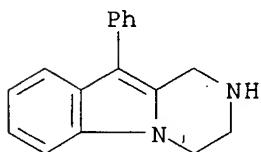
CN Pyrazino[1,2-a]indole, 10-methyl-1,3-diphenyl- (9CI) (CA INDEX NAME)



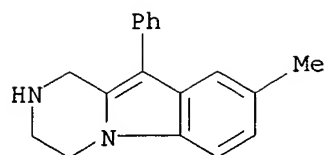
L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1992:59321 CAPLUS
 DN 116:59321
 TI Synthesis of 10-phenyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles and ethyl
 1-(2-aminoethyl)-3-phenylindole-2-carboxylates
 AU Basanagoudar, L. D.; Mahajanshetti, C. S.; Hendi, S. B.; Dambal, S. B.
 CS Dep. Chem., Karnatak Univ., Dharwad, 580 003, India
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including
 Medicinal Chemistry (1991), 30B(11), 1014-17
 CODEN: IJSBDB; ISSN: 0376-4699
 DT Journal
 LA English
 AB Cyanomethylation of 3-phenylindole-2-carboxylates I (R = H, Me, OMe, OEt,
 Br, Cl, R1 = H; R = H, R1 = Cl, Me; R = R1 = Me; R2 = H, R3 = Et) with
 ClCH2CN in the presence of NaH in DMF gave the corresponding 2-cyanoethyl
 derivs. I (R2 = CH2CN) (II). Reductive cyclization of II with LiAlH4 gave
 directly 10-phenyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles III.
 Catalytic hydrogenation of II gave 2-aminoethyl derivs. I (R2 = CH2CH2NH2)
 (IV), while hydrolysis gave 2-carboxy-3-phenylindolecarboxylates I (R2 =
 CH2CO2H, R3 = H). III and IV were screened for antiserotonin and
 antihistamine activities. Some compds. exhibit pronounced activities.
 IT 39626-24-9P 52839-15-3P 138653-65-3P
 138653-66-4P 138653-67-5P 138653-68-6P
 138653-69-7P 138653-70-0P 138653-71-1P
 138654-02-1P 138654-03-2P 138654-04-3P
 138654-05-4P 138654-06-5P 138654-07-6P
 138654-08-7P 138654-09-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 39626-24-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA
 INDEX NAME)



RN 52839-15-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX
 NAME)

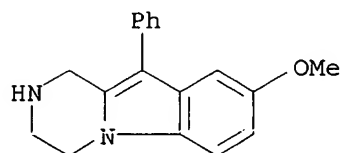


RN 138653-65-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methyl-10-phenyl- (9CI) (CA
 INDEX NAME)



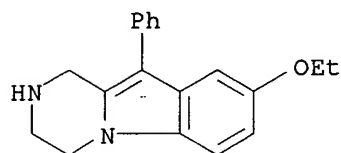
RN 138653-66-4 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-phenyl- (9CI) (CA INDEX NAME)



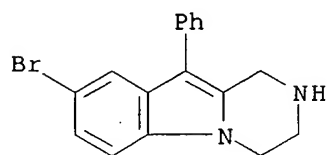
RN 138653-67-5 CAPLUS

CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



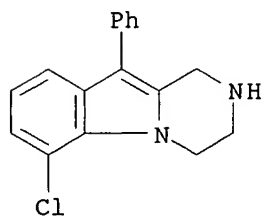
RN 138653-68-6 CAPLUS

CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)

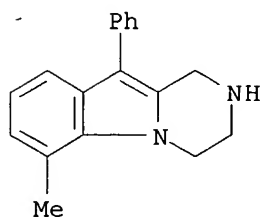


RN 138653-69-7 CAPLUS

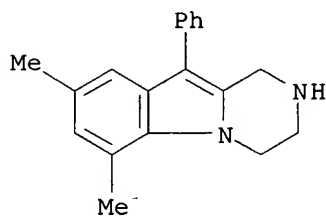
CN Pyrazino[1,2-a]indole, 6-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



RN 138653-70-0 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6-methyl-10-phenyl- (9CI) (CA INDEX NAME)



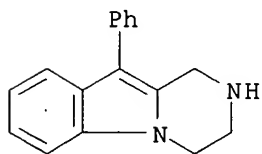
RN 138653-71-1 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6,8-dimethyl-10-phenyl- (9CI) (CA INDEX NAME)



RN 138654-02-1 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

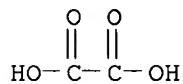
CM 1

CRN 52839-15-3
 CMF C17 H16 N2



CM 2

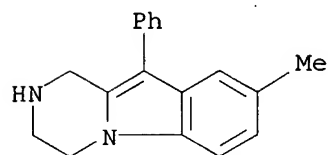
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-03-2 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methyl-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

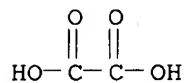
CM 1

CRN 138653-65-3
 CMF C18 H18 N2



CM 2

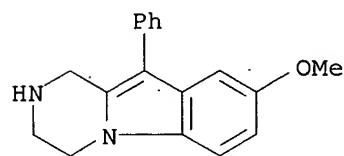
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-04-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

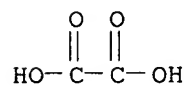
CM 1

CRN 138653-66-4
 CMF C18 H18 N2 O



CM 2

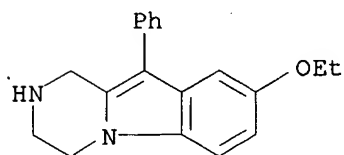
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-05-4 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

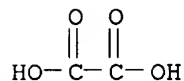
CM 1

CRN 138653-67-5
 CMF C19 H20 N2 O



CM 2

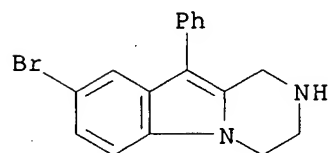
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-06-5 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-phenyl-, ethanedioate
 (1:1) (9CI) (CA INDEX NAME)

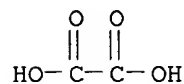
CM 1

CRN 138653-68-6
 CMF C17 H15 Br N2



CM 2

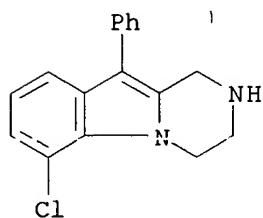
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-07-6 CAPLUS
 CN Pyrazino[1,2-a]indole, 6-chloro-1,2,3,4-tetrahydro-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

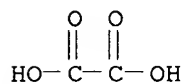
CM 1

CRN 138653-69-7
 CMF C17 H15 Cl N2



CM 2

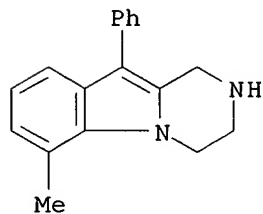
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-08-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6-methyl-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

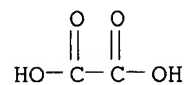
CM 1

CRN 138653-70-0
 CMF C18 H18 N2



CM 2

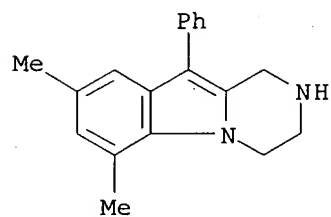
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-09-8 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6,8-dimethyl-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

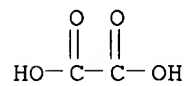
CM 1

CRN 138653-71-1
 CMF C19 H20 N2

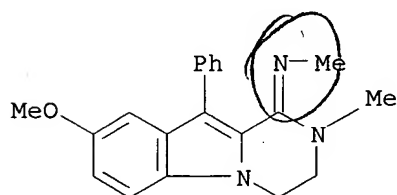


CM 2

CRN 144-62-7
 CMF C2 H2 O4



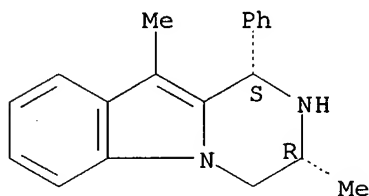
L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2003 ACS
AN 1989:497180 CAPLUS
DN 111:97180
TI Synthesis of incazane tricyclic analogs
AU Glushkov, R. G.; Zaitsev, S. A.; Mashkovskii, M. D.; Andreeva, N. I.
CS USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1988), 22(9), 1060-3
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 111:97180
AB Tricyclic analogs I (R = H, MeO; R1 = H, Me, Ph; R2 = Me, CH2Ph) of
incazane (II) were prepd. to establish the origin of antidepressant
activity in II. The carboline ring is required for this activity.
IT **122125-60-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 122125-60-4 CAPLUS
CN Methanamine, N-(3,4-dihydro-8-methoxy-2-methyl-10-phenylpyrazino[1,2-
a]indol-1(2H)-ylidene)-, monohydrochloride (9CI) (CA INDEX NAME)



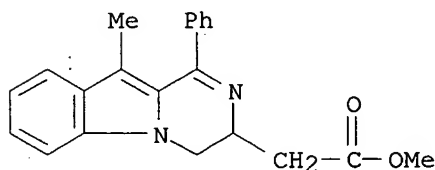
● HCl

L4 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1986:572406 CAPLUS
 DN 105:172406
 TI Pd(CH₃CN)₄(BF₄)₂-assisted attack of nitriles on olefins. A palladium analog of the Ritter reaction
 AU Hegedus, Louis S.; Mulhern, Thomas A.; Asada, Hideki
 CS Dep. Chem., Colorado State Univ., Fort Collins, CO, 80523, USA
 SO Journal of the American Chemical Society (1986), 108(20), 6224-8
 CODEN: JACSAT; ISSN: 0002-7863
 DT Journal
 LA English
 OS CASREACT 105:172406
 AB The strongly electrophilic complex Pd(CH₃CN)₄(BF₄)₂ (I) activates a variety of olefins to undergo nucleophilic attack by nitriles to give nitrilium salts. These nitrilium salts undergo reaction with a variety of nucleophiles including electron-rich aroms., alcs., and amines, ultimately producing a variety of heterocyclic ring systems. E.g., N-allylskatole (II) was treated with RCN (R = Me, Et, Ph) in the presence of I followed by redn. with NaBH₄ in EtOH to give 37-58% pyrazino[1,2-a]indoles III.
 IT **103693-66-9P 103710-63-0P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and spectra of)
 RN 103693-66-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-3,10-dimethyl-1-phenyl-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 103710-63-0 CAPLUS
 CN Pyrazino[1,2-a]indole-3-acetic acid, 3,4-dihydro-10-methyl-1-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1986:533746 CAPLUS
 DN 105:133746
 TI 2S-and 2R-indolinecarboxylic acids
 IN Roloff, Achim; Gschwend, Heinz Werner
 PA Ciba-Geigy A.-G. , Switz.
 SO Eur. Pat. Appl., 23 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 180544	A1	19860507	EP 1985-810480	19851021
	EP 180544	B1	19880615		
	R: CH, DE, FR, GB, IT, LI, NL				
	US 4595766	A	19860617	US 1984-664916	19841026
	JP 61103870	A2	19860522	JP 1985-237771	19851025
	US 4697016	A	19870929	US 1986-829336	19860214
PRAI	US 1984-664916		19841026		

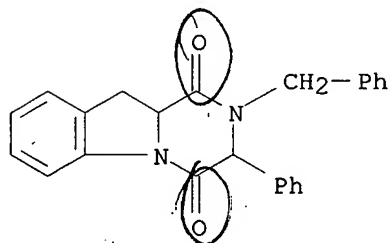
AB The title compds. [2S- and 2R-I] were prepd. as pharmaceutical intermediates. Thus, MeCOCOCl was cyclocondensed with (S)-proline anilide to give pyrrolopyrazinedione (S)-II. This was photocyclized in BuOH to give cyclodipeptide (S,S)-III. The latter was hydrolyzed in 6 M HCl to give (S)-I.

IT **104261-89-4P**

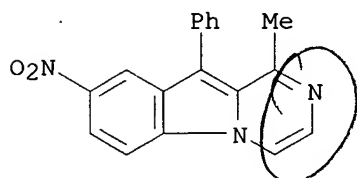
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and hydrolysis of)

RN 104261-89-4 CAPLUS

CN Pyrazino[1,2-a]indole-1,4-dione, 2,3,10,10a-tetrahydro-3-phenyl-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1984:423433 CAPLUS
 DN 101:23433
 TI Synthesis and psychotropic activity of tricyclic analogs of pyrazidole
 AU Grinev, A. N.; Shvedov, V. I.; Krichevskii, E. S.; Romanova, O. B.;
 Altukhova, L. B.; Kurilo, G. N.; Andreeva, N. I.; Golovina, S. M.;
 Mashkovskii, M. D.
 CS Vses. Nauchno-Issled. Khim.-Farm. Inst., USSR
 SO Khimiko-Farmatsevticheskii Zhurnal (1984), 18(2), 159-63
 CODEN: KHFZAN; ISSN: 0023-1134
 DT Journal
 LA Russian
 OS CASREACT 101:23433
 AB $\text{RCOC}(\text{CH}_2\text{R}_1):\text{NNHC}_6\text{H}_4\text{R}_2\text{-p}$ ($\text{R} = \text{R}_2 = \text{Me}$, $\text{R}_1 = \text{Me}$, Et ; $\text{R} = \text{Pr}$, $\text{R}_1 = \text{Me}$, $\text{R}_2 = \text{H}$, Me , MeO , Cl ; $\text{R} = \text{Me}$, $\text{R}_1 = \text{Ph}$, $\text{R}_2 = \text{NO}_2$), prepd. in 38.9-60.8% yields by condensation of $\text{RCOCH}_2\text{CH}_2\text{R}_1$ with HCO_2Et followed by coupling with $\text{p-R}_2\text{C}_6\text{H}_4\text{N}_2+\text{Cl}^-$, were cyclized by acid to give 44.5-60% I ($\text{R}_3 = \text{H}$) which were substituted by $\text{BrCH}_2\text{CH}(\text{OBu})_2$ to give intermediates I [$\text{R}_3 = (\text{BuO})_2\text{CHCH}_2$]. The latter were cyclized by $\text{NH}_4\text{OAc-AcOH}$ to give 54.3-66.6% II which were hydrogenated 3-4 h at 50.degree. and 70 atm over Raney Ni to give 84.5-91.2% III ($\text{R} = \text{R}_2 = \text{Me}$, $\text{R}_1 = \text{Me}$, Et ; $\text{R} = \text{Pr}$, $\text{R}_1 = \text{Me}$, $\text{R}_2 = \text{H}$, Me). III ($\text{R} = \text{R}_2 = \text{Me}$, $\text{R}_1 = \text{Me}$, Et) were effective antidepressants as shown by their 50% redn. of reserpine-induced ptosis in mice at 20-25 mg/kg.
 IT **90237-31-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 90237-31-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 1-methyl-8-nitro-10-phenyl-, monohydrochloride
 (9CI) (CA INDEX NAME)

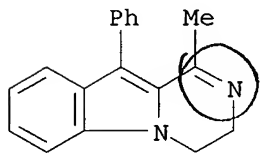


● HCl

L4 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1981:462127 CAPLUS
 DN 95:62127
 TI Synthesis of 10-phenyl-3,4-dihydropyrazino[1,2-a]indoles and 3-phenylindole-1-acetic acids
 AU Hendi, Shivakumar B.; Basanagoudar, L. D.
 CS Dep. Chem., Karnatak Univ., Dharwad, 580 003, India
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1981), 20B(4), 285-7
 CODEN: IJSBDB; ISSN: 0376-4699
 DT Journal
 LA English
 AB 3-Phenylindole-1-acetonitriles I (R = CH₂CN; R₁ = H, Me, MeO, EtO, Cl) were prepd. by a new method in which appropriate N-phenylglycinonitriles are condensed with phenacyl bromide and then the condensed products are cyclodehydrated with P₂O₅ in boiling xylene. Catalytic hydrogenation of the nitriles gives I (R = CH₂CH₂NH₂), which are converted into corresponding amides I (R = CH₂CH₂NHAc, CH₂CH₂NHBz) by treatment with Ac₂O or BzCl; cyclodehydration with POCl₃ gives the dihydropyrazinoindoles II. I (R = CH₂CN) on hydrolysis afford the corresponding indole-1-acetic acids. The structures of the compds. were confirmed on the basis of IR and NMR data. I and II had antiserotonin activity (no data).
 IT 78367-09-6P 78367-11-0P 78367-13-2P
 78367-15-4P 78367-17-6P 78367-18-7P
 78367-20-1P 78367-21-2P 78367-22-3P
 78367-23-4P 78367-24-5P 78367-25-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 78367-09-6 CAPLUS
 CN Pyrazino[1,2-a]indole, 3,4-dihydro-1-methyl-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

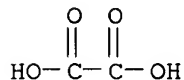
CM 1

CRN 78367-08-5
 CMF C18 H16 N2



CM 2

CRN 144-62-7
 CMF C2 H2 O4



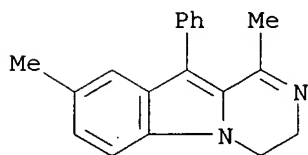
RN 78367-11-0 CAPLUS

CN Pyrazino[1,2-a]indole, 3,4-dihydro-1,8-dimethyl-10-phenyl-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 78367-10-9

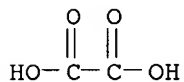
CMF C19 H18 N2



CM 2

CRN 144-62-7

CMF C2 H2 O4



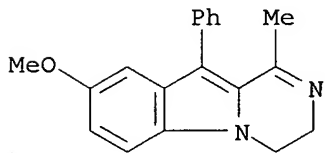
RN 78367-13-2 CAPLUS

CN Pyrazino[1,2-a]indole, 3,4-dihydro-8-methoxy-1-methyl-10-phenyl-,
ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 78367-12-1

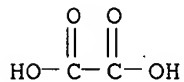
CMF C19 H18 N2 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



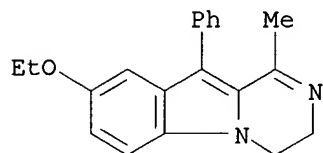
RN 78367-15-4 CAPLUS

CN Pyrazino[1,2-a]indole, 8-ethoxy-3,4-dihydro-1-methyl-10-phenyl-,
ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 78367-14-3

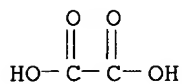
CMF C20 H20 N2 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



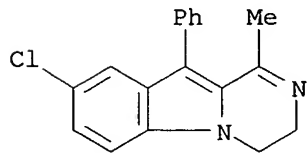
RN 78367-17-6 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-3,4-dihydro-1-methyl-10-phenyl-,
ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 78367-16-5

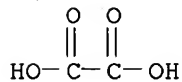
CMF C18 H15 Cl N2



CM 2

CRN 144-62-7

CMF C2 H2 O4

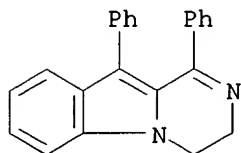


RN 78367-18-7 CAPLUS

CN Pyrazino[1,2-a]indole, 3,4-dihydro-1,10-diphenyl-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

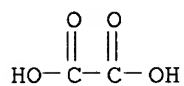
CM 1

CRN 54735-05-6
CMF C23 H18 N2



CM 2

CRN 144-62-7
CMF C2 H2 O4

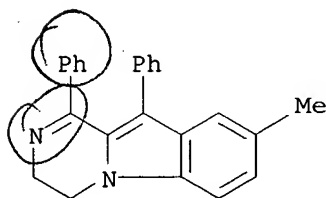


RN 78367-20-1 CAPLUS

CN Pyrazino[1,2-a]indole, 3,4-dihydro-8-methyl-1,10-diphenyl-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

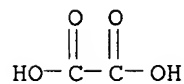
CM 1

CRN 78367-19-8
CMF C24 H20 N2



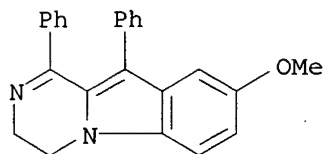
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 78367-21-2 CAPLUS

CN Pyrazino[1,2-a]indole, 3,4-dihydro-8-methoxy-1,10-diphenyl- (9CI) (CA INDEX NAME)



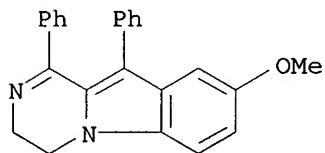
RN 78367-22-3 CAPLUS

CN Pyrazino[1,2-a]indole, 3,4-dihydro-8-methoxy-1,10-diphenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 78367-21-2

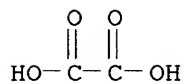
CMF C24 H20 N2 O



CM 2

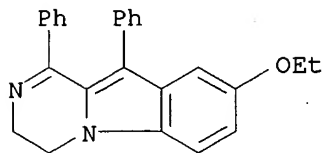
CRN 144-62-7

CMF C2 H2 O4



RN 78367-23-4 CAPLUS

CN Pyrazino[1,2-a]indole, 8-ethoxy-3,4-dihydro-1,10-diphenyl- (9CI) (CA INDEX NAME)



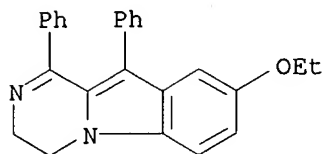
RN 78367-24-5 CAPLUS

CN Pyrazino[1,2-a]indole, 8-ethoxy-3,4-dihydro-1,10-diphenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

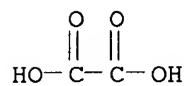
10/026,226

CRN 78367-23-4
CMF C25 H22 N2 O



CM 2

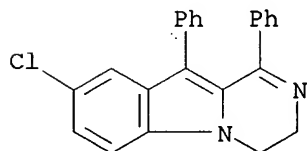
CRN 144-62-7
CMF C2 H2 O4



RN 78367-25-6 CAPLUS
CN Pyrazino[1,2-a]indole, 8-chloro-3,4-dihydro-1,10-diphenyl-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

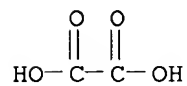
CM 1

CRN 54735-06-7
CMF C23 H17 Cl N2



CM 2

CRN 144-62-7
CMF C2 H2 O4



L4 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1977:468421 CAPLUS
 DN 87:68421
 TI 10-Aryl-1,2,3,4-tetrahydropyrazino[1,2-a]indole and derivatives
 IN Freed, Meier E.
 PA American Home Products Corp., USA
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

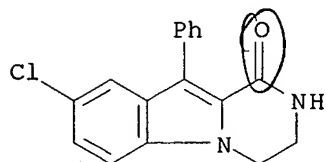
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4022778	A	19770510	US 1971-196178	19711105
PRAI	US 1971-196178		19711105		

AB The pyrazinoindoles I [R = H, Me₂N(CH₂)₃, ClCH₂CO, Et₂NCH₂CO, Et₂NCH₂CH₂, pyrrolidino; X = O, H₂] were prep'd. Thus, Et N-(2-benzoyl-4-chlorophenyl)glycinate was cyclized with EtONa and the Et 3-phenyl-5-chloro-2-indolecarboxylate treated with ClCH₂CN followed by redn. and cyclization to give I (R = H, X = O), which was treated with Me₂N(CH₂)₃Cl and reduced to give I [R = Me₂N(CH₂)₃, X = H₂]. At 127-400 mg/kg I were central nervous system depressants and anticonvulsants.

IT **25445-58-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and aminoalkylation of)

RN 25445-58-3 CAPLUS

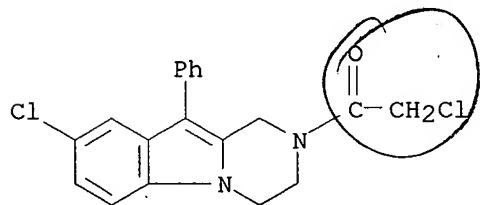
CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl- (8CI, 9CI)
 (CA INDEX NAME)



IT **63458-12-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction with diethylamine)

RN 63458-12-8 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-2-(chloroacetyl)-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)

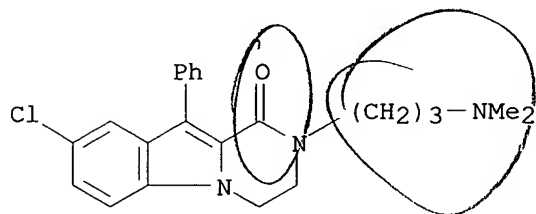


IT **63458-09-3P 63458-13-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and redn. of)

RN 63458-09-3 CAPLUS

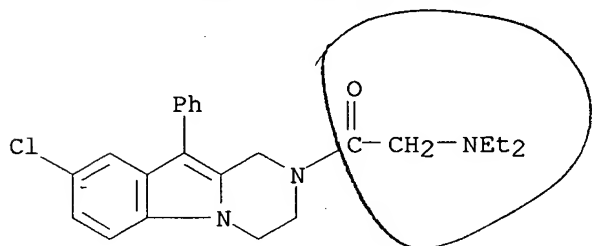
CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-2-[3-(dimethylamino)propyl]-3,4-dihydro-10-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 63458-13-9 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-2-[(diethylamino)acetyl]-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



IT 39626-24-9P 63458-08-2P 63458-11-7P

63458-14-0P 63458-15-1P 63458-16-2P

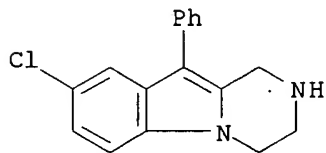
63458-17-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

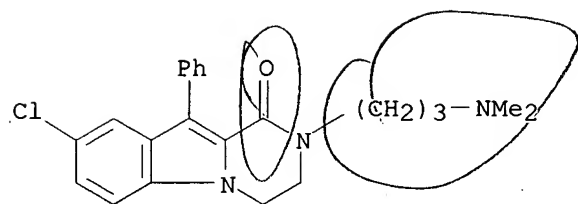
RN 39626-24-9 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



RN 63458-08-2 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-2-[3-(dimethylamino)propyl]-3,4-dihydro-10-phenyl- (9CI) (CA INDEX NAME)



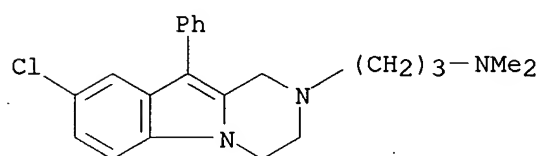
RN 63458-11-7 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-propanamine, 8-chloro-3,4-dihydro-N,N-dimethyl-10-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 63458-10-6

CMF C22 H26 Cl N3

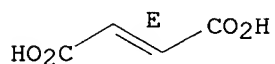


CM 2

CRN 110-17-8

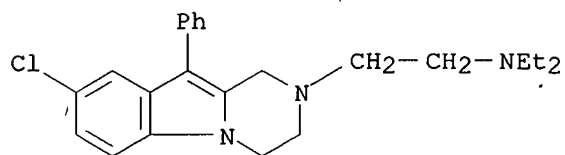
CMF C4 H4 O4

Double bond geometry as shown.



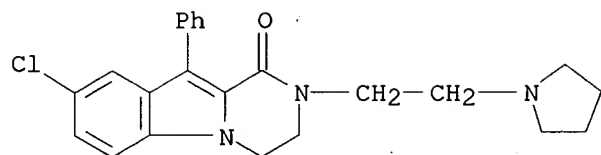
RN 63458-14-0 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-ethanamine, 8-chloro-N,N-diethyl-3,4-dihydro-10-phenyl- (9CI) (CA INDEX NAME)



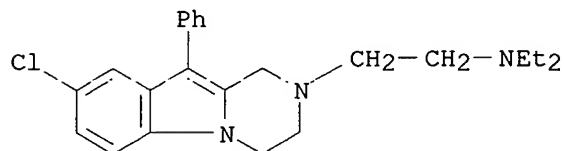
RN 63458-15-1 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl-2-[2-(1-pyrrolidiny)ethyl]- (9CI) (CA INDEX NAME)



RN 63458-16-2 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-ethanamine, 8-chloro-N,N-diethyl-3,4-dihydro-10-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

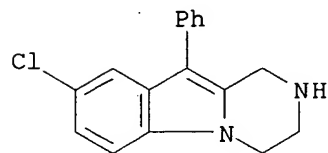
RN 63458-17-3 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 39626-24-9

CMF C17 H15 Cl N2

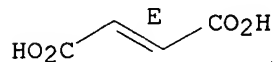


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1975:497412 CAPLUS
 DN 83:97412
 TI 1,4-Benzodiazepine derivatives
 IN Hellerbach, Joseph; Walser, Armin
 PA Hoffmann-La Roche, F., und Co., A.-G., Switz.
 SO Patentschrift (Switz.), 5 pp. Division of Swiss 560,201.
 CODEN: SWXXAS
 DT Patent
 LA German
 FAN.CNT 1

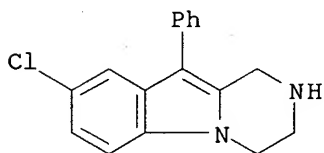
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 561702	A	19750515	CH 1974-16086	19690311
PRAI	CH 1974-16086		19690311		

AB Cleavage of pyrazino[1,2-a]indole I gave 1-(2-benzoyl-4-chlorophenyl)piperazine-2,3-dione, which was cyclized to give benzodiazepine II (R = H), which was methylated to give II (R = Me). Et 5-chloro-3-phenylindole-2-carboxylate was treated with ClCH₂CN to give Et 5-chloro-1-cyanomethyl-3-phenylindole-2-carboxylate, which was reduced to give 1-(2-aminoethyl)-5-chloro-3-phenylindole-2-methanol, which was cyclized to give I.

IT **39626-24-9**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cleavage of)

RN 39626-24-9 CAPLUS

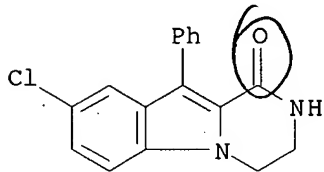
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



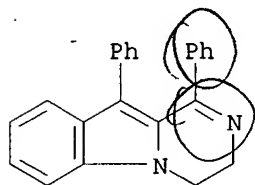
IT **25445-58-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and cleavage of)

RN 25445-58-3 CAPLUS

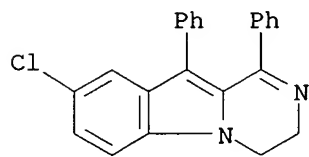
CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl- (8CI, 9CI)
 (CA INDEX NAME)



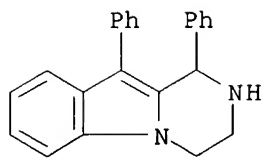
L4 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1975:140093 CAPLUS
 DN 82:140093
 TI Pyrazino[1,2-a]-[1,2-a]indoles. II. Synthesis of 1-substituted and 1,4-diazepino 10-phenyl-3,4-dihydropyrazino(1,2-a)indoles and 11-phenyl-4,5-dihydro-3H-1,4-diazepino(1,2-a)indoles
 AU Gatta, F.; Zaccari, V.; Huidobro-Toro, J. P.; Chiavarelli, S.
 CS Lab. Chim. Ter., Ist. Super Sanita, Rome, Italy
 SO Farmaco, Edizione Scientifica (1975), 30(1), 58-69
 CODEN: FRPSAX; ISSN: 0430-0920
 DT Journal
 LA Italian
 AB The condensed indoles I (R = H, Cl; R1 = Me, Ph; n = 2, 3) were prepd. by treating the indoles II (R = H, Cl; R2 = R3 = H) with ClCH2CN or II (R = H, Cl; R2 = H; R3 = Bz) with CH2:CHCN, reducing and acylating II [R2 = (CH2)n-1CN] and cyclizing II [R2 = (CH2)nNHCOR3; R3 = Me, Ph] with POCl3 or polyphosphoric acid. Catalytic hydrogenation of I gave the 1,2-dihydro derivs. Both I and their 1,2-dihydro derivs. were sedatives, muscle relaxants, and antiadrenergics.
 IT **54735-05-6P 54735-06-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and redn. of)
 RN 54735-05-6 CAPLUS
 CN Pyrazino[1,2-a]indole, 3,4-dihydro-1,10-diphenyl- (9CI) (CA INDEX NAME)



RN 54735-06-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-3,4-dihydro-1,10-diphenyl- (9CI) (CA INDEX NAME)

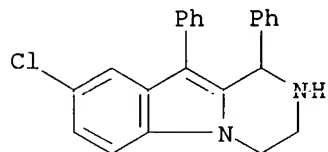


IT **54735-15-8P 54735-16-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 54735-15-8 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-1,10-diphenyl- (9CI) (CA INDEX NAME)



RN 54735-16-9 CAPLUS

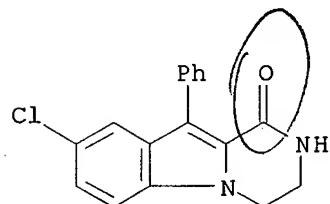
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-1,10-diphenyl- (9CI)
(CA INDEX NAME)



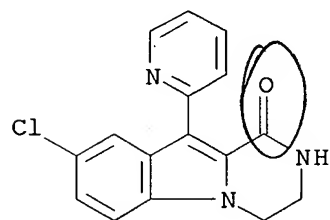
L4 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1974:463673 CAPLUS
 DN 81:63673
 TI Piperazinoindole derivatives
 IN Yamamoto, Hisao; Inaba, Shigeo; Okamoto, Tadashi; Hirohashi, Toshiyuki;
 Ishizumi, Kikuo; Yamamoto, Michihiro; Maruyama, Isamu; Mori, Kazuo;
 Kobayashi, Tsuyoshi
 PA Sumitomo Chemical Co., Ltd.
 SO Jpn. Tokkyo Koho, 2 pp.
 CODEN: JAXXAD
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 49004237	B4	19740131	JP 1970-10927	19700206
PRAI	JP 1970-10927		19700206		

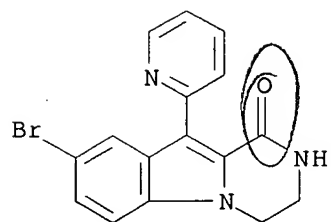
AB Piperazinoindoles I (R = Cl, Br, R1 = 2-pyridyl; R = Cl, R1 = Ph), useful as central depressants, were prepd. by cyclizing the corresponding indolecarboxylic acid esters (II, R2 = lower alkyl). E.g. 7 g II (R = Cl, R1 = 2-pyridyl, R2 = Et) in 100 ml EtOH was refluxed 30 min to give 5.5 g I (R = Cl, R1 = 2-pyridyl).
 IT **25445-58-3P 29310-49-4P 29315-00-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 25445-58-3 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl- (8CI, 9CI)
 (CA INDEX NAME)



RN 29310-49-4 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-(2-pyridinyl)-
 (9CI) (CA INDEX NAME)



RN 29315-00-2 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 8-bromo-3,4-dihydro-10-(2-pyridinyl)-
 (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1974:425640 CAPLUS

DN 81:25640

TI Pyrazino[1,2-a]- and 1,4-diazapino[1,2-a]indoles. I. Synthesis of 10-phenyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles and 11-phenyl-2,3,4,5-tetrahydro-1H-1,4-diazepino[1,2-a]indoles

AU Gatta, F.; Zaccari, V.; Huidobro-Toro, J. P.; Landi-Vittory, R.

CS Lab. Chim. Ter., Ist. Super Sanita, Rome, Italy

SO Farmaco, Edizione Scientifica (1974), 29(5), 386-97

CODEN: FRPSAX; ISSN: 0430-0920

DT Journal

LA Italian

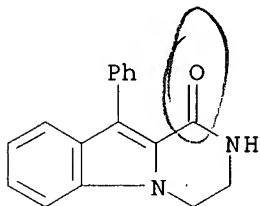
AB Indoles I (X = CH₂, (CH₂)₂; X₁ = O, H₂; R = H, CH₂Ph, Ph) were prepd. by treating the indole II (R₁ = Cl) with RNH(CH₂)_n-OH (n = 2, 3) to give II (R₁ = NR(CH₂)_nOH), which was treated with SOCl₂ and the II (R₁ = NR(CH₂)_nCl) cyclized with NaH to I (X = CH₂, (CH₂)₂, X₁ = O). Redn. gave I (X₁ = H₂). I (X = CO, CH₂CO; X₁ = H₂, R = Ph) were prepd. similarly from II (R₁NHPh) and BrCH₂COBr or CH₂:CHCN, resp. In rats i.p. I (X = (CH₂)₂, X₁ = H₂, R = Ph) had a sedative ED₅₀ of 10 mg/kg, a muscle relaxant ED₅₀ of 1 mg/kg, and an .alpha.-blocking activation time at 10 mg/kg of 10 min.

IT 52839-13-1P 52839-14-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

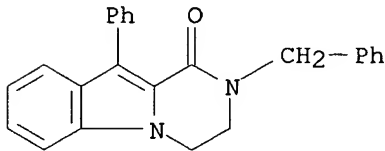
RN 52839-13-1 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 3,4-dihydro-10-phenyl- (9CI) (CA INDEX NAME)



RN 52839-14-2 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 3,4-dihydro-10-phenyl-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

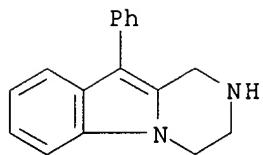


IT 52839-15-3P 52839-16-4P 52839-32-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

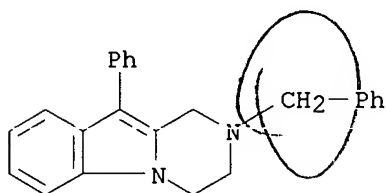
RN 52839-15-3 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



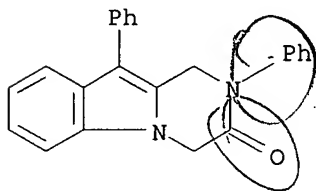
RN 52839-16-4 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-phenyl-2-(phenylmethyl)-
(9CI) (CA INDEX NAME)



RN 52839-32-4 CAPLUS

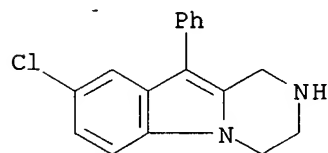
CN Pyrazino[1,2-a]indol-3(4H)-one, 1,2-dihydro-2,10-diphenyl- (9CI) (CA
INDEX NAME)



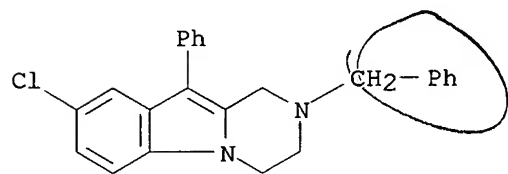
I4 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1974:108577 CAPLUS
 DN 80:108577
 TI Piperazinoindole derivatives
 IN Yamamoto, Hisao; Okamoto, Tadashi; Kobayashi, Tsuyoshi
 PA Sumitomo Chemical Co., Ltd.
 SO Jpn. Tokkyo Koho, 3 pp.
 CODEN: JAXXAD
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 48030080	B4	19730917	JP 1968-70340	19680927
PRAI	JP 1968-70340		19680927		

AB Title nervous system depressants (I, R1 = lower alkyl, aralkyl, aryl, R = H, halogen, lower alkoxy) were prepd. by treating I (R1 = H) with an alc. or alkyl halide. Thus, 2.8 g I (R = 7-Cl, R1 = H) was treated with NaH followed by PhCH2Br in DMF to give 2.8 g I (R = 7-Cl, R1 = PhCH2).
 IT **39626-24-9**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (benzylation of)
 RN 39626-24-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



IT **52534-54-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 52534-54-0 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1973:29813 CAPLUS

DN 78:29813

TI Piperazinoindole derivatives

IN Okamoto, Tadashi; Arasaki, Seitetsu; Kobayashi, Tsuyoshi; Izumi, Takuhiro; Yamamoto, Hisao

PA Sumitomo Chemical Co., Ltd.

SO Jpn. Tokkyo Koho, 3 pp.

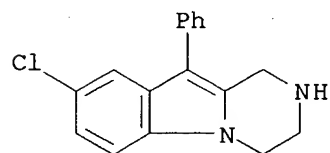
CODEN: JAXXAD

DT Patent

LA Japanese

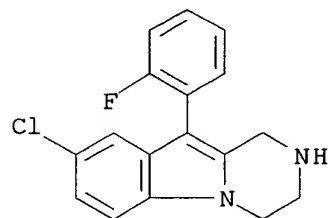
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 47041359	B4	19721019	JP 1968-23507	19680408
AB	A suspension of 1-cyanomethyl-2-carboethoxy-3-phenyl-5-chloroindole (4.95 g) in Et ₂ O was added dropwise to Et ₂ O contg. LiAlH ₄ at room temp. and the mixt. refluxed 2 hr to give 4.2 g 7-chloro-9-phenylpiperazino[1,2-a]indole (I). Similarly prepd. was 9-(o-fluorophenyl) deriv. with central nervous system depressant activity.				
IT	39626-24-9P 39626-25-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	39626-24-9 CAPLUS				
CN	Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)				

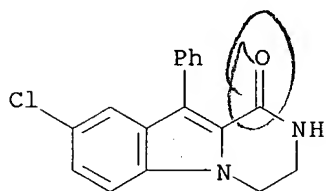


RN 39626-25-0 CAPLUS

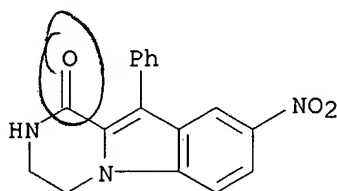
CN Pyrrazino[1,2-a]indole, 8-chloro-10-(2-fluorophenyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



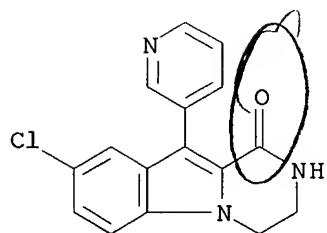
L4 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1972:526356 CAPLUS
 DN 77:126356
 TI Benzodiazepines. VII. Pyrazino[1,2-a]indol-1(2H)-ones and their conversion to 2,3-dihydro-1H-1,4-benzodiazepines
 AU Inaba, Shigeho; Ishizumi, Kikuo; Okamoto, Tadashi; Yamamoto, Hisao
 CS Pharm. Div., Sumitomo Chem. Co., Ltd., Takarazuka, Japan
 SO Chemical & Pharmaceutical Bulletin (1972), 20(8), 1628-36
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 AB A new synthetic route for the prepn. of 2,3-dihydro-1H-1,4-benzodiazepines (I, R = Ph or 2-pyridyl; R1 = H; X = Br, Cl, NO2) is described. It consists of cyanomethylation of Et indole-2-carboxylates, redn. of the cyano group, oxidn. of the 1-aminoethylindole derivs. III, and finally hydrolysis of the resulting 2,3-piperazinediones (IV). In an alternative synthesis of the key intermediate III (R = Ph, X = Cl) (V), intramol. alkylation of N-(2-chloroethyl)indole-2-carboxamide gave a mixt. of V and 5-chloro-3-phenyl-2-(2-oxazolin-2-yl)indole.
 IT **25445-58-3P 36975-43-6P 37657-54-8P**
37747-39-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 25445-58-3 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl- (8CI, 9CI)
 (CA INDEX NAME)



RN 36975-43-6 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 3,4-dihydro-8-nitro-10-phenyl- (9CI) (CA INDEX NAME)

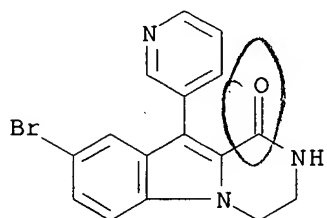


RN 37657-54-8 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 37747-39-0 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-bromo-3,4-dihydro-10-(3-pyridinyl)-
(9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1972:448532 CAPLUS

DN 77:48532

TI 5-Phenyl-7-nitro-2,3-dihydro-1H-1,4-benzodiazepine

IN Yamamoto, Hisao; Inaba, Shigeho; Okamoto, Tadashi; Hirohashi, Toshiyuki; Ishizumi, Kikuo; Yamamoto, Michihiro; Maruyama, Isamu; Mori, Kazuo; Kobayashi, Tsuyoshi; et al.

PA Sumitomo Chemical Co., Ltd.

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LA German

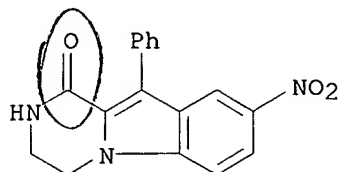
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2144272	A	19720323	DE 1971-2144272	19710903
PRAI	DE 1971-2144272		19710903		

AB The title compd. (I) was prepd. in 5 steps from Et 5-nitro-3-phenylindole-2-carboxylate (II) by successive treatment with ClCH₂CN, NaBH₄-BF₃.OEt₂, and Na₂CO₃ to give oxopiperazinoindole (III), oxidn. with CrO₃-HOAc, and cyclization with NaOH-EtOH. III was also prepd. from II by condensation with H₂NCH₂CH₂OH, chlorination with SOCl₂, and cyclization with K₂CO₃.

IT **36975-43-6P**RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 36975-43-6 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 3,4-dihydro-8-nitro-10-phenyl- (9CI) (CA
- INDEX NAME)

L4 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1972:140909 CAPLUS
 DN 76:140909
 TI 2-[2-(2,3-Dioxo-1-piperazinyl)-5-halobenzoyl]pyridines and
 5-(2-pyridyl)-7-halo-2,3-dihydro-1H-1,4-benzodiazepins
 IN Yamamoto, Hisao; Inaba, Shigeho; Okamoto, Tadashi; Hirohashi, Toshiyuki;
 Ishizumi, Kikuo; Maruyama, Isamu; Yamamoto, Michihiro
 PA Sumitomo Chemical Co., Ltd.
 SO Ger. Offen., 25 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2017857	A	19720120	DE 1970-2017857	19700414
	AT 299964	B	19720710	AT 1971-6711	19700212
PRAI	JP 1969-28910		19690414		

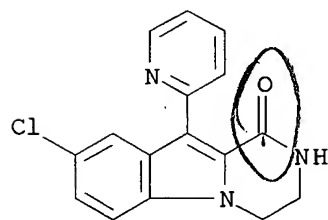
AB The benzoylpyridines (I, R = Br or Cl) were prepd. by 4-step reactions and converted into the benzodiazepines (II, R = Br or Cl). Thus, reaction of Et (2-pyridyl)pyruvate and p-ClC₆H₄NHNH₂.HCl gave 2-ethoxycarbonyl-3-(2-pyridyl)-5-chloroindole (III). Treatment of 10.2 g III in DMF with 1.65 g 50% NaH at 20.degree., and addn. of 2.6 g ClCH₂CN gave 7.4 g 1-cyanomethyl-2-ethoxycarbonyl-3-(2-pyridyl)-5-chloroindole (IV). Hydrogenation of IV in THF at 20.degree. over Raney Ni gave V (R = Cl). A soln. of 1 g V (R = Cl) in HOAc was oxidized with O contg. 2% O₃ to give 2.5 g I (R = Cl). Similarly prepd. was I (R = Br). Sapon. of I (R = Cl) with NaOH in aq. EtOH gave II (R = Cl). Similarly prepd. was II (R = Br).

IT **29310-49-4P 29315-00-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

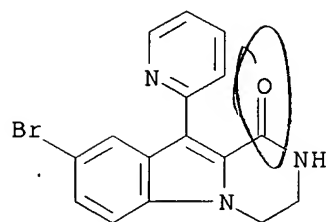
RN 29310-49-4 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-(2-pyridinyl)-
 (9CI) (CA INDEX NAME)

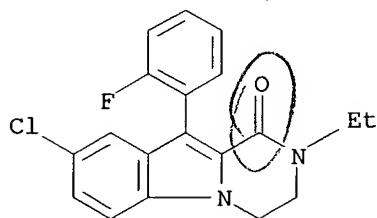


RN 29315-00-2 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-bromo-3,4-dihydro-10-(2-pyridinyl)-
 (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1971:405867 CAPLUS
 DN 75:5867
 TI Benzodiazepines. IV. New synthesis of 1-diethylaminoethyl-substituted
 1,4-benzodiazepin-2-ones
 AU Inaba, Shigeho; Ishizumi, Kikuo; Yamamoto, Hisao
 CS Pharm. Div., Sumitomo Chem. Co., Ltd., Kobe, Japan
 SO Chemical & Pharmaceutical Bulletin (1971), 19(2), 263-72
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 AB 1-(2-Diethylaminoethyl)-substituted 1,4-benzodiazepin-2-ones and related
 compds. were prepd. by ring enlargement of the corresponding
 2-aminomethylindole derivs. Attempted chlorination of
 5-chloro-1-(2-diethylaminoethyl)-3-(o-fluorophenyl)indole-2-carboxylic
 acid (I, R = Et₂N(CH₂)₂, X = F) with SOCl₂ yielded 8-chloro-3,4-dihydro-2-
 ethyl-10-(o-fluorophenyl)-pyrazino [1,2-a] indol-1(2H)-one (II, X = F).
 Chlorination of 5-chloro-3-phenylindole-2-carboxylic acid deriv. (I, R =
 H, X = F, Cl) with SOCl₂ gave the acid chloride and the dimer.
 IT **32502-38-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 32502-38-8 CAPLUS
 CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-2-ethyl-10-(o-fluorophenyl)-3,4-
 dihydro- (8CI) (CA INDEX NAME)



L4 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1971:88075 CAPLUS
 DN 74:88075
 TI Piperazinoindole intermediates
 IN Yamamoto, Hisao; Inaba, Shigeo; Okamoto, Tadashi; Horohashi, Toshiyuki;
 Ishizumi, Kikuo; Yamamoto, Michihiro; Maruyama, Isamu; Mori, Kazuo;
 Kobayashi, Tsuyoshi; Izumi, Takahiro
 PA Sumitomo Chemical Co., Ltd.
 SO Ger. Offen., 15 pp. Addn. to Ger. Offen. 1,906,254
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1965981	A	19710121	DE 1969-1965981	19690207
	JP 48026752	B4	19730815	JP 1968-33260	19680517
	US 3702321	A	19721107	US 1969-797708	19690207
	GB 1246715	A	19710915	GB 1969-7121	19690210
	GB 1246717	A	19710915	GB 1970-49088	19690210
	NL 6902224	A	19690815	NL 1969-2224	19690212
	SE 344590	B	19720424	SE 1969-1939	19690212
	CH 520698	A	19720331	CH 1971-6844	19690505
PRAI	JP 1968-22530	A	19680404		
	JP 1968-8951	A	19680213		
	JP 1968-21887	A	19680402		
	JP 1968-31466	A	19680510		
	JP 1968-33260	A	19680517		
	JP 1968-36249	A	19680527		
	JP 1968-41106	A	19680613		

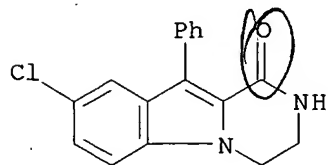
AB The title compds. (I) were prepd. by catalytic hydrogenation of II (R = CH₂CN), obtained by condensing II (R = H) and ClCH₂CN in the presence of bases, e.g. NaH, and oxidized via III to give on base treatment benzo-diazepines (IV) used as tranquilizers, hypnotics, muscle relaxants, and spasmolytics. Thus, a catalyst obtained by 1 hr NaOH treatment at 100.degree. of 50 Raney Ni was added to II (R = CH₂CN, X = 5-Cl, Y = H) in THF and the mixt. treated 12 hr with H at 18.degree. to give I (X = 7-Cl, Y = H) (Ia). Ia was oxidized 16 hr with CrO₃-HOAc-H₂O at 10.degree. to give III (X = 5-Cl, Y = H), which was refluxed 3 hr with NaOH-EtOH-H₂O and further 17 hr after H₂O addn. to give IV (X = 7-Cl, Y = H), obtained also by similarly refluxing 4,2-ClBzC₆H₃NHCH₂CH₂NHCOCO₂H. Similarly prepd. were IV (X and Y given): H, H; 7-Br, H; 7-Cl, o-Cl; 7-Cl, o-F; and 7-CF₃, H.

IT **25445-58-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 25445-58-3 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl- (8Cl, 9Cl)
 (CA INDEX NAME)



L4 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1970:531048 CAPLUS

DN 73:131048

TI Benzodiazepines

IN Yamamoto, Hisao; Inaba, Shigeo; Okamoto, Tadashi; Hirohashi, Toshiyuki; Ishizumi, Kikuo; Maruyama, Isamu; Kobayashi, Tsuyoshi; Yamamoto, Michihiro; Mori, Kazuo

PA Sumitomo Chemical Co., Ltd.

SO Ger. Offen., 29 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

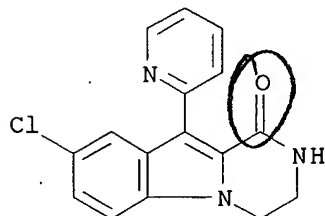
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2005845	A	19700903	DE 1970-2005845	19700209
	JP 49010679	B4	19740312	JP 1969-19324	19690313
	GB 1286701	A	19720823	GB 1970-1286701	19700210
	BE 745809	A	19700716	BE 1970-745809	19700211
	NL 7001926	A	19700814	NL 1970-1926	19700211
	FR 2034541	A1	19701211	FR 1970-4835	19700211
	FR 2034541	A5	19701211		
	AT 299955	B	19720710	AT 1970-1297	19700212
	CH 542861	A	19731130	CH 1970-2049	19700212
PRAI	JP 1969-10672		19690212		
	JP 1969-19324		19690313		
	JP 1969-19325		19690313		
	JP 1969-25800		19690402		
	JP 1969-28910		19690414		

AB Et 2-pyridylpyruvate, p-ClC₆H₄NH₂.HCl, AcOH, and H₂SO₄ was refluxed 1 hr to give I, (R = Cl, R₁ = H) (II), m. 155-5.5.degree. (EtOH); II.HCl m. 237-8.degree. (EtOH). II and NaH in DMF was stirred with ClCH₂CN 1 hr to give I (R = Cl, R₁ = CH₂CN) (III), m. 154-6.degree. (petroleum ether-EtOH). III in THF was hydrogenated over Raney Ni until 2 moles H was absorbed to give IV (R = Cl) (V), m. 280-2.5.degree. (EtOH). V in AcOH was treated with O₃ or CrO₃ to give VI (R = Cl) (VII), m. 121-3.degree. (iso-PrOH). VII and NaOH-aq. EtOH was refluxed 20 hr to give VIII (R = Cl), m. 187-8.degree. (EtOH). Similarly prepd. were 10 other compds. VIII are tranquilizers, antispasmodics, hypnotic and muscle-relaxing agents, and intermediates in the synthesis of other pharmaceuticals.

IT **29310-49-4P 29315-00-2P**RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 29310-49-4 CAPLUS

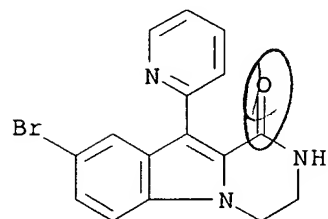
CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-(2-pyridinyl)-(9CI) (CA INDEX NAME)



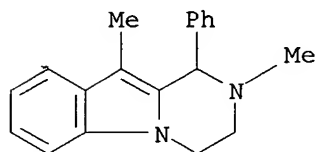
10/026,226

RN 29315-00-2 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-bromo-3,4-dihydro-10-(2-pyridinyl)-
(9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2003 ACS
AN 1970:456063 CAPLUS
DN 73:56063
TI Alkylation and dehydrogenation of piperazino[1,2-a]indole derivatives
AU Shvedov, V. I.; Altukhova, L. B.; Alekseev, V. V.; Grinev, A. N.
CS Vses. Nauch.-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1970), (5), 714-15
CODEN: KGSSAQ; ISSN: 0132-6244
DT Journal
LA Russian
AB Piperazino[1,2-a]indoles (I) (R:)H were N-alkylated by alcs. with stirring at 55-60.degree. 4-6 hr in the presence of Raney Ni catalyst to give 92% I (R = Me), m. 135-6.degree.; 91% I (R = Et), m. 105-6.degree.. Similarly prepd. was 87% II, m. 113-14.degree.. In refluxing EtOH or BuOH, dehydrogenation and alkylation of I (R:H) took place to give 91% III (R = H, R1 = Et), m. 109-10.degree.. Similarly prepd. was 93.5% III (R = Me, R1 = Bu), m. 160-1.degree..
IT **27853-14-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 27853-14-1 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-2,10-dimethyl-1-phenyl- (8CI)
(CA INDEX NAME)



L4 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2003 ACS

AN 1970:21723 CAPLUS

DN 72:21723

TI Therapeutic 5-phenyl-2,3-dihydro-1H-1,4-benzodiazepines

IN Inaba, Shigeo; Yamamoto, Michihiro; Izumi, Takahiro; Ishizumi, Kikuo; Maruyama, Isamu; Kobayashi, Tsuyoshi; Hirohashi, Toshiyuki; Mori, Kazuo; Yamamoto, Hisao; Okamoto, Tadashi

PA Sumitomo Chemical Co., Ltd.

SO Ger. Offen., 23 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1906254	A	19690918	DE 1969-1906254	19690207
	DE 1906254	B2	19770518		
	JP 48026752	B4	19730815	JP 1968-33260	19680517
	US 3702321	A	19721107	US 1969-797708	19690207
	GB 1246715	A	19710915	GB 1969-7121	19690210
	NL 6902224	A	19690815	NL 1969-2224	19690212
	AT 296312	B	19720210	AT 1969-1429	19690212
	SE 344590	B	19720424	SE 1969-1939	19690212
PRAI	JP 1968-31466	A	19680510		
	JP 1968-8951	A	19680213		
	JP 1968-21887	A	19680402		
	JP 1968-22530	A	19680404		
	JP 1968-33260	A	19680517		
	JP 1968-36249	A	19680527		
	JP 1968-41106	A	19680613		

AB The title compds. (I) tranquilizers, hypnotics, muscle relaxants, and spasmolytics are prepd. Thus, a mixt. of 1.1 g 50% NaH in 10 ml HCONMe₂ (DMF), and 6 g II (X = Cl, Y = H) in 30 ml DMF is stirred 15 min at 30.degree., a soln. of 1.7 g ClCH₂CN in 10 ml DMF added dropwise, and the mixt. stirred at 25-30.degree. to give 5.5 g III (X = Cl, Y = H) (IIIa), m. 126.5-27.degree. (benzene-hexane). A soln. of 10 g IIIa in 100 ml tetrahydrofuran (THF) is hydrogenated 12 hr at atm. pressure and 18.degree. in the presence of a catalyst (made by treating 50% Raney Ni alloy with aq. NaOH 1 hr at 100.degree.), the latter filtered off, THF distd. off in vacuo, the residue dissolved in hot EtOH, the soln. kept 15 hr in the cold to give 3.6 g. IV (X = Cl, Y = H) (IVa), m. 244.5-45.degree. (EtOH-benzene). A mixt. of 1.6 g. IVa and 35 ml HOAc is mixed at 10.degree. with 1.6 g CrO₃ in 2 ml H₂O, stirred 16 hr at 20.degree., poured into 500 ml H₂O, the pH adjusted to 7-8 (NH₃), and the mixt. extd. with CHCl₃ to give 1.5 g V (X = Cl, Y = H) (Va), m. 198.degree. (aq. EtOH). A mixt. of 3.3 g Va and a soln. of 2 g NaOH in 5 ml H₂O with 50 ml EtOH is refluxed 3 hr, 50 ml H₂O added, refluxed 17 hr, most of the EtOH distd. off, 200 ml H₂O added to the residue, and the latter heated to 80.degree. to yield 2 g I (X = Cl, Y = H) (Ia), m. 173.degree. (EtOH). Ia is also prepd. by refluxing 16 hr a mixt. of either (i) 3 g VI (X = Cl, Y = H) (VIa) with a soln. of 1 g NaOH in 30 ml EtOH + 10 ml H₂O, or (ii) 2 g VII (X = Cl, Y = H) (VIIa) with a soln. of 0.5 g NaOH in 30 ml EtOH + 5 ml H₂O, and in either case distg. off EtOH in vacuo, adding 200 (or 100) ml H₂O and recovering 2.2 (or 1.6) g. Ia. Similarly obtained are the following I where (X, Y, and m.p. given): H, H, 144-6.degree. (petroleum ether); Br, H, 173-5.degree.; Cl, Cl, 175-7.degree.; Cl, F, 161-3.degree.; CF₃, H (Ib), 110-11.degree.. Methanolic HCl is added to a methanolic soln. of Ib, the mixt. kept 1 h r

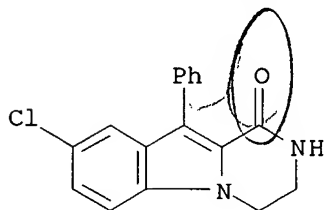
at room temp., MeOH removed in vacuo, and the residue washed (benzene) to give Ib-HCl, m. 283-5.degree. (MeOH-Et2O). A mixt. of 1.3 g Va and a soln. of 500 mg NaOH in 30 ml EtOH + 2 ml H2O is refluxed 50 min, solvent distd. in vacuo, 100 ml H2O added to the residue, the latter filtered, the filtrate adjusted to pH 1 (concd. HCl) and the ppt. filtered off and washed (H2O), to give VIa, m. 191.degree. (decompn.) (EtOH). A mixt. of 1 g VIa and 20 ml tetrahydronaphthalene is refluxed 30 min, cooled, 200 ml benzene added, the soln. washed (aq. Na2CO3, H2O), dried (Na2SO4), concd. in vacuo, the residue kept 15 hr in the cold with 100 ml hexane to give VIIa, m. 130-40.degree. (benzene).

IT **25445-58-3P**

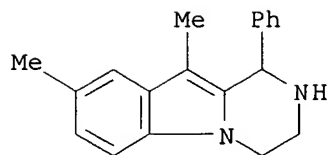
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 25445-58-3 CAPLUS

CN Pyrazino[1,2-a]indol-1(2H)-one, 8-chloro-3,4-dihydro-10-phenyl- (8CI, 9CI)
(CA INDEX NAME)

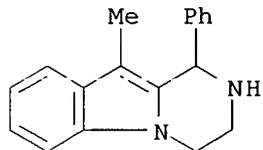


L4 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1969:87750 CAPLUS
 DN 70:87750
 TI Synthesis of pyrazino(1,2-a)indoles
 AU Shvedov, V. I.; Alekseev, V. V.; Altukhova, L. B.; Grinev, A. N.
 CS Vses. Nauch.-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
 SO Khimiko-Farmatsevticheskii Zhurnal (1968), 2(12), 3-7
 CODEN: KHFZAN; ISSN: 0023-1134
 DT Journal
 LA Russian
 AB The title compds. (I) were prep'd. as follows: to a suspension of 0.1 mole deriv. of 2-aryl-3-methylindole (II) in 60 ml. abs. dioxane was added an alc. soln. of 0.1 g.-atom Na, the solvents distd. and to the residue was added with stirring a soln. of 0.1 mole BrCH₂CH(OBu)₂ in 100 ml. dry HCONMe₂. The mixt. was refluxed 1 hr., cooled, poured into H₂O, extd. with C₆H₆, the ext. was dried by azeotropic distn. and the solvent distd. in vacuo. The residue was dissolved in 300 ml. AcOH, 0.3 mole AcONH₄ was added, the mixt. refluxed 1 hr., the AcOH distd. in vacuo, the residue poured into H₂O and alkalinized to give the following I (R, R₁, % yield, and m.p. given): H, H, 63, 132-3.degree.; Me, H, 65, 140-1.degree.; H, Me, 61.5, 145-6.degree.; H, MeO, 55, 150-1.degree.; MeO, H, 74, 256-7.degree. (decompn.); Cl, Cl, 68, 203-4.degree.; Me, MeO, 51.2, 162-3.degree.. To a boiling soln. of 0.02 mole I in 200 ml. abs. alc. was added every 5-10 min. Na to a total of 20.7 g., the mixt. was refluxed with stirring 15-20 min., dild. (H₂O), the alc. distd. in vacuo, the residue sepd. and dried to give the following III (R, R₁, % yield, and m.p. given): H, H, 98.4, 135-6.degree.; Me, H, 93, 115-16.degree.; MeO, H, 94, 145-6.degree.. To a suspension of 2.5 g. 2-p-toluoyl-3-methylindole in 10 ml. abs. dioxane was added an alc. soln. of 0.23 g. Na, the solvents distd. in a Wood's alloy bath at 120.degree. and then in vacuo. To the residue was added 1.5 g. Cl(CH₂)₂NEt₂ and 1 ml. HCONMe₂, the mixt. heated 1 hr. at 130-40.degree., treated with H₂O, extd. with C₆H₆ and worked up to yield 73% 1-[.beta.-(diethylamino)ethyl]-2-p-toluoyl-3-methylindole-HCl, m. 223-4.degree. (dioxane). Similarly, from 7.89 g. 2-p-toluoyl-3,5-dimethylindole, 15 ml. abs. dioxane, 0.69 g. Na and 3.8 g. .gamma.-(dimethylamino)propyl chloride resulted 83.5% 1-[.gamma.-(dimethylamino)-propyl]-2-p-toluoyl-3,5-dimethylindole-HCl, m. 126-7.degree. (C₆H₆-petroleum ether). To a soln. of 0.01 mole II in 10 ml. abs. dioxane was added 0.011 mole CH₂(NEt₂)₂, the soln. heated 2.5 hrs. on a water bath, distd. in vacuo and worked up to give the following IV (R, R₁, % yield and m.p. given): Me, H, 70, 73-4.degree.; H, MeO, 85.2, 90-90.5.degree.; Me, Me, 87, 76-7.degree..
 IT 21689-24-7P 21689-25-8P 21689-26-9P
 21942-95-0P 21942-96-1P 21942-97-2P
 21942-98-3P 21942-99-4P 21943-00-0P
 21943-01-1P 21943-02-2P 21943-03-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 21689-24-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-1-phenyl- (8CI)
 (CA INDEX NAME)



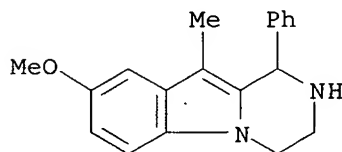
RN 21689-25-8 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methyl-1-phenyl- (8CI) (CA INDEX NAME)



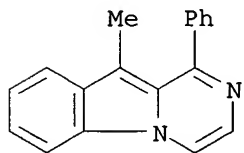
RN 21689-26-9 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-methyl-1-phenyl- (8CI) (CA INDEX NAME)



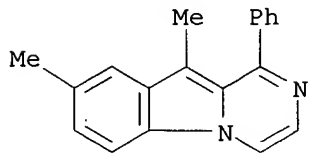
RN 21942-95-0 CAPLUS

CN Pyrazino[1,2-a]indole, 10-methyl-1-phenyl- (8CI) (CA INDEX NAME)



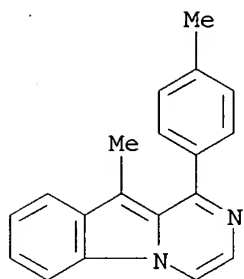
RN 21942-96-1 CAPLUS

CN Pyrazino[1,2-a]indole, 8,10-dimethyl-1-phenyl- (8CI) (CA INDEX NAME)

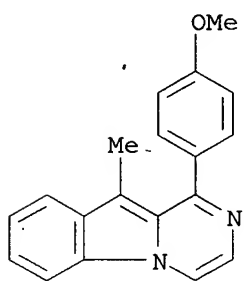


RN 21942-97-2 CAPLUS

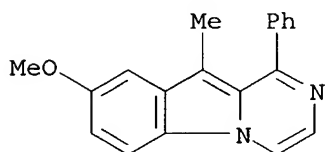
CN Pyrazino[1,2-a]indole, 10-methyl-1-p-tolyl- (8CI) (CA INDEX NAME)



RN 21942-98-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 1-(p-methoxyphenyl)-10-methyl- (8CI) (CA INDEX NAME)

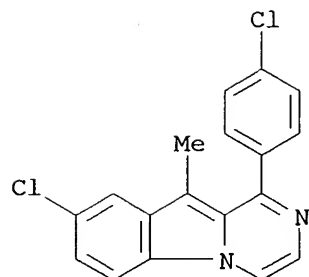


RN 21942-99-4 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-methoxy-10-methyl-1-phenyl-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

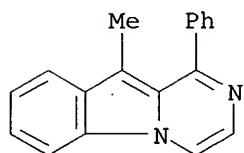
RN 21943-00-0 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1-(p-chlorophenyl)-10-methyl- (8CI) (CA INDEX NAME)



RN 21943-01-1 CAPLUS
 CN Pyrazino[1,2-a]indolium, 2,10(or 5,10)-dimethyl-1-phenyl-, iodide (8CI)
 (CA INDEX NAME)

CM 1

CRN 21942-95-0
 CMF C18 H14 N2



CM 2

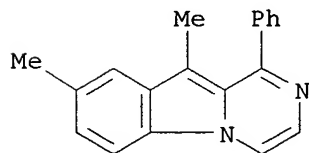
CRN 74-88-4
 CMF C H3 I

H₃C-I

RN 21943-02-2 CAPLUS
 CN Pyrazino[1,2-a]indolium, 2,8,10(or 5,8,10)-trimethyl-1-phenyl-, iodide
 (8CI) (CA INDEX NAME)

CM 1

CRN 21942-96-1
 CMF C19 H16 N2



CM 2

CRN 74-88-4

CMF C H3 I

H₃C-I

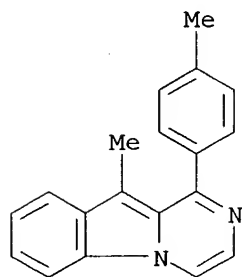
RN 21943-03-3 CAPLUS

CN Pyrazino[1,2-a]indolium, 2,10(or 5,10)-dimethyl-1-p-tolyl-, iodide (8CI)
(CA INDEX NAME)

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CRN 21942-97-2

CMF C19 H16 N2



CM 2

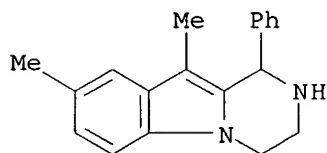
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CMF C H3 I

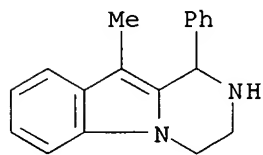
H₃C-I

L4 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2003 ACS
 AN 1969:57834 CAPLUS
 DN 70:57834
 TI 1-Aryl-10-alkyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles
 IN Shvedov, V. I.; Altukhova, L. B.; Grinev, A. N.; Alekseev, V. V.
 PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical
 Institute
 SO U.S.S.R.
 From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1968, 45(29), 23.
 CODEN: URXXAF
 DT Patent
 LA Russian
 FAN.CNT 1

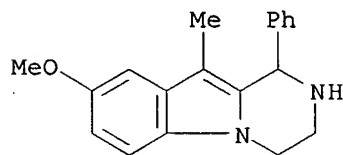
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	SU 226619		19680916	SU	19670728
AB	The title compds. are prepd. by subjecting 1-aryl-10-alkylpyrazino[1,2-a]indoles or their hydrochlorides to redn. with Na in EtOH at the b.p. of the reaction mixt.				
IT	21689-24-7P 21689-25-8P 21689-26-9P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	21689-24-7 CAPLUS				
CN	Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-1-phenyl- (8CI) (CA INDEX NAME)				



RN 21689-25-8 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methyl-1-phenyl- (8CI) (CA INDEX NAME)



RN 21689-26-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-methyl-1-phenyl- (8CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 17:45:35 ON 01 MAY 2003)

FILE 'REGISTRY' ENTERED AT 17:45:47 ON 01 MAY 2003

L1 STRUCTURE UPLOADED

L2 1 S L1 SSS SAM

L3 102 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 17:46:59 ON 01 MAY 2003

L4 26 S L3

FILE 'CAOLD' ENTERED AT 17:47:53 ON 01 MAY 2003

=> s l3

L5 0 L3

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.40

267.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-16.93

STN INTERNATIONAL LOGOFF AT 17:48:06 ON 01 MAY 2003